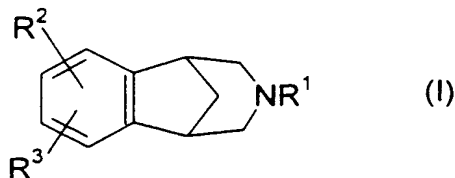


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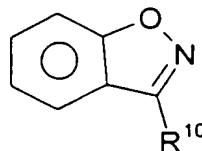
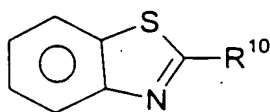
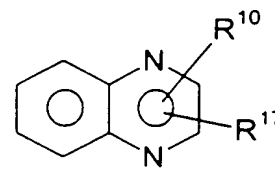
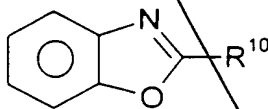
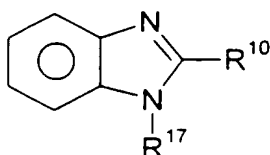
5 of the benzo ring shown in formula I, may optionally and independently be replaced by a nitrogen, oxygen or sulfur, and wherein said monocyclic and bicyclic rings may optionally be substituted with one or more substituents, preferably from zero to two substituents for the monocyclic rings and from zero to three substituents for the bicyclic rings, that are selected, independently, from (C₁-C₆) alkyl optionally substituted with from one to seven fluorine atoms, (C₁-C₆) alkoxy optionally substituted with from one to seven fluorine atoms, nitro, cyano, halo, (C₂-C₆) alkenyl, (C₂-C₆) alkynyl, hydroxy, amino, (C₁-C₆) alkylamino and [(C₁-C₆) alkyl]₂amino, -CO₂R⁴, -CONR⁵R⁶, -SO₂NR⁷R⁸, -C(=O)R¹³ and -XC(=O)R¹³;

each R⁴, R⁵, R⁶, R⁷, R⁸ and R¹³ is selected, independently, from hydrogen and (C₁-C₆) alkyl, or R⁵ and R⁶, or R⁷ and R⁸ together with the nitrogen to which they are attached, form a pyrrolidine, piperidine, morpholine, azetidine, piperazine, N-(C₁-C₆) alkylpiperazine or thiomorpholine ring, or a thiomorpholine ring wherein the ring sulfur is replaced with a sulfoxide or sulfone; and

each X is, independently, (C₁-C₆) alkylene;

with the proviso that: (a) at least one of R¹, R² and R³ must be the other than hydrogen, and (b) when R² and R³ are both hydrogen, R¹ cannot be hydrogen or methyl; or a pharmaceutically acceptable salt thereof;

2. A compound according to claim 1, wherein R² and R³, together with the benzo ring of formula I, form a bicyclic ring system selected from the following:



25 wherein R¹⁰ and R¹⁷ are selected, independently, from (C₀-C₆) alkoxy-(C₀-C₆) alkyl- wherein the total number of carbon atoms does not exceed six and wherein any of the alkyl moieties may optionally be substituted with from one to seven fluorine atoms; nitro, cyano, halo,

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5 amino, (C₁-C₆)alkylamino-, [(C₁-C₆) alkyl]₂amino-, -CO₂R⁴, -CONR⁵R⁶, -SO₂NR⁷R⁸, -C(=O)R¹³,
-XC(=O)R¹³, phenyl and monocyclic heteroaryl, wherein said heteroaryl is selected from five to
seven membered aromatic rings containing from one to four heteroatoms selected from oxygen,
nitrogen and sulfur,

10 3. A compound according to claim 1, wherein R² and R³ do not, together with the
benzo ring of formula I, form a bicyclic or tricyclic ring system.

4. A compound according to claim 1, wherein one or both of R² and R³ are
-C(=O)R¹³ wherein R¹³ is (C₁-C₆)alkyl.

5. A compound according to claim 1, wherein one of R² and R³ is -COR¹³ wherein
R¹³ is (C₁-C₆)alkyl or (C₁-C₆)alkyl optionally substituted with from one to seven fluorine atoms.

15 6. A compound according to claim 1, wherein one of R² and R³ is CF₃, fluoro,
cyano or C₂F₅.

7. A pharmaceutical composition for use in reducing nicotine addiction or aiding in
the cessation or lessening of tobacco use in a mammal, comprising an amount of a compound
according to claim 1 that is effective in reducing nicotine addiction or aiding in the cessation or
20 lessening of tobacco use and a pharmaceutically acceptable carrier.

8. A method for reducing nicotine addiction or aiding in the cessation or lessening
of tobacco use in a mammal, comprising administering to said mammal an amount of a
compound according to claim 1 that is effective in reducing nicotine addiction or aiding in the
cessation or lessening of tobacco use.

25 9. A pharmaceutical composition for treating a disorder or condition selected from
inflammatory bowel disease (including but not limited to ulcerative colitis, pyoderma
gangrenosum and Crohn's disease), irritable bowel syndrome, spastic dystonia, chronic pain,
acute pain, celiac sprue, pouchitis, vasoconstriction, anxiety, panic disorder, depression, bipolar
disorder, autism, sleep disorders, jet lag, amyotrophic lateral sclerosis (ALS), cognitive
30 dysfunction, hypertension, bulimia, anorexia, obesity, cardiac arrhythmias, gastric acid
hypersecretion, ulcers, pheochromocytoma, progressive supramuscular palsy, chemical
dependencies and addictions (e.g., dependencies on, or addictions to nicotine (and/or tobacco
products), alcohol, benzodiazepines, barbituates, opioids or cocaine), headache, stroke,
traumatic brain injury (TBI), psychosis, Huntington's Chorea, tardive dyskinesia, hyperkinesia,
35 dyslexia, schizophrenia, multi-infarct dementia, age related cognitive decline, epilepsy, including
petit mal absence epilepsy, senile dementia of the Alzheimer's type (AD), Parkinson's disease
(PD), attention deficit hyperactivity disorder (ADHD) and Tourette's Syndrome in a mammal,

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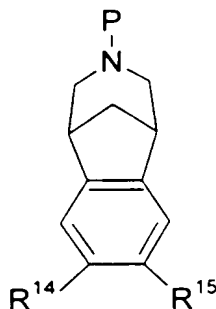
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5 comprising an amount of a compound according to claim 1 that is effective in treating such disorder or condition and a pharmaceutically acceptable carrier.

10 10. A method for treating a disorder or condition selected from inflammatory bowel disease (including but not limited to ulcerative colitis, pyoderma gangrenosum and Crohn's disease), irritable bowel syndrome, spastic dystonia, chronic pain, acute pain, celiac sprue, pouchitis, vasoconstriction, anxiety, panic disorder, depression, bipolar disorder, autism, sleep disorders, jet lag, amyotrophic lateral sclerosis (ALS), cognitive dysfunction, hypertension, bulimia, anorexia, obesity, cardiac arrhythmias, gastric acid hypersecretion, ulcers, pheochromocytoma, progressive supramuscular palsy, chemical dependencies and addictions (e.g., dependencies on, or addictions to nicotine (and/or tobacco products), alcohol, benzodiazepines, barbituates, opioids or cocaine), headache, stroke, traumatic brain injury (TBI), psychosis, Huntington's Chorea, tardive dyskinesia, hyperkinesia, dyslexia, schizophrenia, multi-infarct dementia, age related cognitive decline, epilepsy, including petit mal absence epilepsy, senile dementia of the Alzheimer's type (AD), Parkinson's disease (PD), attention deficit hyperactivity disorder (ADHD) and Tourette's Syndrome in a mammal, comprising administering
15 to a mammal in need of such treatment an amount of a compound according to claim 1 that is effective in treating such disorder or condition.

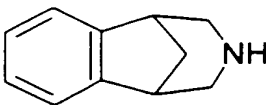
11. A compound of the formula



25 wherein P is hydrogen, methyl, COOR¹⁶ wherein R¹⁶ is (C₁-C₆)alkyl, allyl or 2,2,2-trichloroethyl; -C(=O)NR⁵R⁶ wherein R⁵ and R⁶ are defined as in formula I above; -C(=O)H, -C(=O)(C₁-C₆)alkyl wherein the alkyl moiety may optionally be substituted with from 1 to 3 halo atoms, preferably with from 1 to 3 fluoro or chloro atoms; benzyl, t-butoxycarbonyl (t-Boc) or trifluoroacetyl, and R¹⁴ and R¹⁵ are selected, independently, from hydrogen, (C₁-C₆)alkyl optionally substituted with from one to seven fluorine atoms; -C(=O)(C₁-C₆)alkyl, cyano,
30 hydroxy, nitro, amino, -O(C₁-C₆)alkyl and halo, with the proviso that R¹⁴ and R¹⁵ can not both be hydrogen when P is hydrogen or methyl.

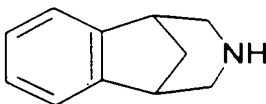
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- 5 12. A method for reducing nicotine addiction or aiding in the cessation or lessening of tobacco use in a mammal, comprising administering to said mammal an amount of a compound comprising an amount of a compound of the formula



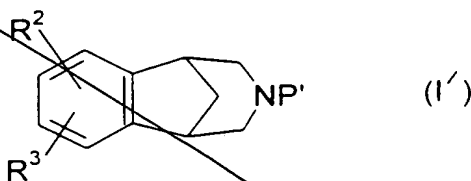
10 or a pharmaceutically acceptable salt thereof, that is effective in reducing nicotine addiction or aiding in the cessation or lessening of tobacco use.

13. A method for treating a disorder or condition selected from inflammatory bowel disease (including but not limited to ulcerative colitis, pyoderma gangrenosum and Crohn's disease), irritable bowel syndrome, spastic dystonia, chronic pain, acute pain, celiac sprue, pouchitis, vasoconstriction, anxiety, panic disorder, depression, bipolar disorder, autism, sleep disorders, jet lag, amyotrophic lateral sclerosis (ALS), cognitive dysfunction, hypertension, bulimia, anorexia, obesity, cardiac arrhythmias, gastric acid hypersecretion, ulcers, pheochromocytoma, progressive supramuscular palsy, chemical dependencies and addictions (e.g., dependencies on, or addictions to nicotine (and/or tobacco products), alcohol, benzodiazepines, barbituates, opioids or cocaine), headache, stroke, traumatic brain injury (TBI), psychosis, Huntington's Chorea, tardive dyskinesia, hyperkinesia, dyslexia, schizophrenia, multi-infarct dementia, age related cognitive decline, epilepsy, including petit mal absence epilepsy, senile dementia of the Alzheimer's type (AD), Parkinson's disease (PD), attention deficit hyperactivity disorder (ADHD) and Tourette's Syndrome in a mammal, comprising administering to a mammal in need of such treatment an amount of a compound of the formula



25 or a pharmaceutically acceptable salt thereof;
~~that is effective in treating such disorder or condition.~~

14. A compound of the formula



30 wherein R^2 and R^3 are defined as in claim 1; and P' is COOR^{16} wherein R^{16} is allyl, 2,2,2-trichloroethyl or $(\text{C}_1\text{-C}_6)\text{alkyl}$; $-\text{C}(=\text{O})\text{NR}^5\text{R}^6$ wherein R^5 and R^6 are defined as in claim 2;

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- 5 ~~-C(=O)H, -C(=O)(C₁-C₆)alkyl wherein the alkyl moiety may optionally be substituted with from 1 to 3 halo atoms, preferably with from 1 to 3 fluoro or chloro atoms; benzyl, or t-butoxycarbonyl (t-Boc).~~

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